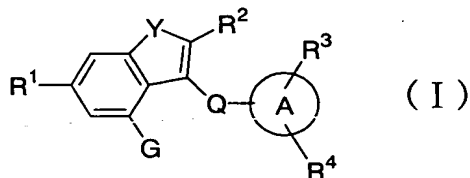


JC20 Rec'd PCT/PTO 29 SEP 2005

## CLAIMS

1. A fused heterocyclic derivative represented by the following general formula (I):



wherein

$R^1$  represents a hydrogen atom, a halogen atom, a hydroxy group, an amino group, a mono or di( $C_{1-6}$  alkyl)amino group, a  $C_{1-6}$  alkyl group, a  $C_{1-6}$  alkoxy group, a halo( $C_{1-6}$  alkyl) group, a halo( $C_{1-6}$  alkoxy) group, a hydroxy( $C_{1-6}$  alkyl) group, a hydroxy( $C_{1-6}$  alkoxy) group, a mono or di[hydroxy( $C_{1-6}$  alkyl)]amino group, a carboxy group, a  $C_{2-7}$  alkoxy carbonyl group, a carbamoyl group or a carbamoyl( $C_{1-6}$  alkyl) group;

10

$R^2$  represents a hydrogen atom, a halogen atom or a  $C_{1-6}$  alkyl group;

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$R^3$  and  $R^4$  independently represent a hydrogen atom, a hydroxy group, a halogen atom, a  $C_{1-6}$  alkyl group, a  $C_{2-6}$  alkenyl group, a  $C_{2-6}$  alkynyl group, a  $C_{1-6}$  alkoxy group, a  $C_{2-6}$  alkenyloxy group, a  $C_{1-6}$  alkylthio group, a  $C_{2-6}$  alkenylthio group, a halo( $C_{1-6}$  alkyl) group, a halo( $C_{1-6}$  alkoxy) group, a halo( $C_{1-6}$  alkylthio) group, a hydroxy( $C_{1-6}$  alkyl) group, a hydroxy( $C_{2-6}$  alkenyl) group, a hydroxy( $C_{1-6}$  alkoxy) group, a hydroxy( $C_{1-6}$  alkylthio) group, a carboxy group, a carboxy( $C_{1-6}$  alkyl) group, a carboxy( $C_{2-6}$  alkenyl) group, a carboxy( $C_{1-6}$  alkoxy) group, a carboxy( $C_{1-6}$

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alkylthio) group, a C<sub>2-7</sub> alkoxycarbonyl group, a C<sub>2-7</sub> alkoxycarbonyl-substituted (C<sub>1-6</sub> alkyl) group, a C<sub>2-7</sub> alkoxycarbonyl-substituted (C<sub>2-6</sub> alkenyl) group, a C<sub>2-7</sub> alkoxycarbonyl-substituted (C<sub>1-6</sub> alkoxy) group, a C<sub>2-7</sub> alkoxycarbonyl-substituted (C<sub>1-6</sub> alkylthio) group, a C<sub>1-6</sub> alkylsulfinyl group, a C<sub>1-6</sub> alkylsulfonyl group, -U-V-W-N(R<sup>5</sup>)-Z or any of the following substitutes (i) to (xxviii) which may have 1 to 3 substituents selected from the following substituent group  $\alpha$  on the ring;

- 10 (i) a C<sub>6-10</sub> aryl group, (ii) C<sub>6-10</sub> aryl-O-, (iii) C<sub>6-10</sub> aryl-S-, (iv) a C<sub>6-10</sub> aryl-substituted (C<sub>1-6</sub> alkyl) group, (v) a C<sub>6-10</sub> aryl-substituted (C<sub>1-6</sub> alkoxy) group, (vi) a C<sub>6-10</sub> aryl-substituted (C<sub>1-6</sub> alkylthio) group, (vii) a heteroaryl group, (viii) heteroaryl-O-, (ix) heteroaryl-S-, (x) a
- 15 heteroaryl(C<sub>1-6</sub> alkyl) group, (xi) a heteroaryl(C<sub>1-6</sub> alkoxy) group, (xii) a heteroaryl(C<sub>1-6</sub> alkylthio) group, (xiii) a C<sub>3-7</sub> cycloalkyl group, (xiv) C<sub>3-7</sub> cycloalkyl-O-, (xv) C<sub>3-7</sub> cycloalkyl-S-, (xvi) a C<sub>3-7</sub> cycloalkyl-substituted (C<sub>1-6</sub> alkyl) group, (xvii) a C<sub>3-7</sub> cycloalkyl-substituted (C<sub>1-6</sub> alkoxy) group,
- 20 (xviii) a C<sub>3-7</sub> cycloalkyl-substituted (C<sub>1-6</sub> alkylthio) group, (xix) a heterocycloalkyl group, (xx) heterocycloalkyl-O-, (xxi) heterocycloalkyl-S-, (xxii) a heterocycloalkyl(C<sub>1-6</sub> alkyl) group, (xxiii) a heterocycloalkyl(C<sub>1-6</sub> alkoxy) group, (xxiv) a heterocycloalkyl(C<sub>1-6</sub> alkylthio) group, (xxv) an aromatic
- 25 cyclic amino group, (xxvi) an aromatic cyclic amino(C<sub>1-6</sub> alkyl) group or (xxvii) an aromatic cyclic amino(C<sub>1-6</sub> alkoxy) group, (xxviii) an aromatic cyclic amino(C<sub>1-6</sub> alkylthio) group,

U represents -O-, -S- or a single bond and with the proviso that at least one of V and W is not a single bond, when U is -O- or -S-);

V represents a C<sub>1-6</sub> alkylene group which may have a hydroxy group, a C<sub>2-6</sub> alkenylene group or a single bond;

W represents -CO-, -SO<sub>2</sub>-, -C(=NH)- or a single bond;

Z represents a hydrogen atom, a C<sub>2-7</sub> alkoxy carbonyl group, a C<sub>6-10</sub> aryl-substituted (C<sub>2-7</sub> alkoxy carbonyl) group, a formyl group, -R<sup>A</sup>, -COR<sup>B</sup>, -SO<sub>2</sub>R<sup>B</sup>, -CON(R<sup>C</sup>)R<sup>D</sup>, -CSN(R<sup>C</sup>)R<sup>D</sup>, -SO<sub>2</sub>NHR<sup>A</sup> or  
 10 -C(=NR<sup>E</sup>)N(R<sup>F</sup>)R<sup>G</sup>;

R<sup>5</sup>, R<sup>A</sup>, R<sup>C</sup> and R<sup>D</sup> independently represent a hydrogen atom, a C<sub>1-6</sub> alkyl group which may have 1 to 5 substituents selected from the following substituent group β or any of the following substituents (xxix) to (xxxii) which may have 1 to 3 substituents  
 15 selected from the following substituent group α;

(xxix) a C<sub>6-10</sub> aryl group, (xxx) a heteroaryl group, (xxxi) a C<sub>3-7</sub> cycloalkyl group or (xxxii) a heterocycloalkyl group

or both of Z and R<sup>5</sup> bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may  
 20 have 1 to 3 substituents selected from the following substituent group α;

or both of R<sup>C</sup> and R<sup>D</sup> bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have 1 to 3 substituents selected from the following substituent  
 25 group α;

R<sup>B</sup> represents a C<sub>2-7</sub> alkoxy carbonyl group, a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>6-10</sub> arylsulfonylamino group, a

C<sub>1-6</sub> alkyl group which may have 1 to 5 substituents selected from the following substituent group  $\beta$  or any of the following substitutes (xxxiii) to (xxxvi) which may have 1 to 3 substituents selected from the following substituent group  $\alpha$ ;

5 (xxxiii) a C<sub>6-10</sub> aryl group, (xxxiv) a heteroaryl group, (xxxv) a C<sub>3-7</sub> cycloalkyl group or (xxxvi) a heterocycloalkyl group,

R<sup>E</sup>, R<sup>F</sup> and R<sup>G</sup> independently represent a hydrogen atom, a cyano group, a carbamoyl group, a C<sub>2-7</sub> acyl group, a C<sub>2-7</sub> alkoxy carbonyl group, a C<sub>6-10</sub> aryl-substituted (C<sub>2-7</sub> alkoxy carbonyl) group, a nitro group, a C<sub>1-6</sub> alkylsulfonyl group, a sulfamoyl group, a carbamimidoyl group or a C<sub>1-6</sub> alkyl group which may have 1 to 5 substituents selected from the following substituent group  $\beta$ ;

15 or both of R<sup>E</sup> and R<sup>F</sup> bind together to form an ethylene group;

or both of R<sup>F</sup> and R<sup>G</sup> bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have a substituent selected from the following substituent group

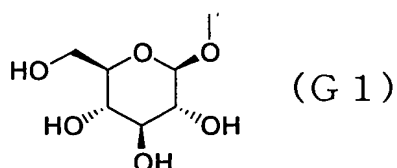
20  $\alpha$ ;

Y represents -O-, -S-, or -NH- which may be substituted by a C<sub>1-6</sub> alkyl group or a halo(C<sub>1-6</sub> alkyl) group;

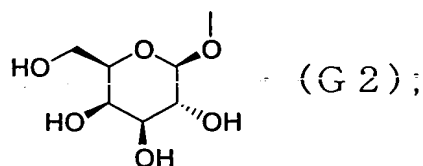
Q represents -C<sub>1-6</sub> alkylene-, -C<sub>2-6</sub> alkenylene-, -C<sub>1-6</sub> alkylene-O-, -C<sub>1-6</sub> alkylene-S-, -O-C<sub>1-6</sub> alkylene-, -S-C<sub>1-6</sub> alkylene-, -C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> alkylene- or -C<sub>1-6</sub> alkylene-S-C<sub>1-6</sub> alkylene-;

ring A represents a C<sub>6-10</sub> aryl group or a heteroaryl group;

G represents a group represented by the formula:



or a formula:



5 [substituent group  $\alpha$ ]

a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkyl group, a C<sub>1-6</sub> alkoxy group, a halo(C<sub>1-6</sub> alkyl) group, a halo(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkyl) group, a C<sub>2-7</sub> alkoxy carbonyl-substituted (C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkoxy) group, an amino(C<sub>1-6</sub> alkyl) group, an amino(C<sub>1-6</sub> alkoxy) group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, a C<sub>1-6</sub> alkylsulfonyl group, a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>1-6</sub> alkylsulfonylamino-substituted (C<sub>1-6</sub> alkyl) group, a carboxy group, a C<sub>2-7</sub> alkoxy carbonyl group, a sulfamoyl group and  $-\text{CON}(\text{R}^{\text{H}})\text{R}^1$

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15

[substituent group  $\beta$ ]

a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkoxy group, a C<sub>1-6</sub> alkylthio group, a halo(C<sub>1-6</sub> alkoxy) group, a halo(C<sub>1-6</sub> alkylthio) group, a hydroxy(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkylthio) group, an amino(C<sub>1-6</sub> alkoxy) group, an amino(C<sub>1-6</sub> alkylthio) group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, an ureido group, a sulfamide group, a mono or di(C<sub>1-6</sub> alkyl)ureido group, a mono

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or di[hydroxy(C<sub>1-6</sub> alkyl)]ureido group, a mono or di(C<sub>1-6</sub> alkyl)sulfamide group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]-sulfamide group, a C<sub>2-7</sub> acylamino group, an amino(C<sub>2-7</sub> acylamino) group, a C<sub>1-6</sub> alkylsulfonyl group, a C<sub>1-6</sub> alkylsulfonylamino group, a carbamoyl(C<sub>1-6</sub> alkylsulfonylamino) group, a carboxy group, a C<sub>2-7</sub> alkoxy carbonyl group, -CON(R<sup>H</sup>)R<sup>I</sup>, and any of the following substitutes (xxxvii) to (xxxxviii) which may have 1 to 3 substituents selected from the above substituent group  $\alpha$  on the ring;

- 10 (xxxvii) a C<sub>6-10</sub> aryl group, (xxxviii) C<sub>6-10</sub> aryl-O-, (xxxix) a C<sub>6-10</sub> aryl-substituted (C<sub>1-6</sub> alkoxy) group, (xxxx) a C<sub>6-10</sub> aryl-substituted (C<sub>1-6</sub> alkylthio) group, (xxxxi) a heteroaryl group, (xxxixii) heteroaryl-O-, (xxxixiii) a C<sub>3-7</sub> cycloalkyl group, (xxxixiv) C<sub>3-7</sub> cycloalkyl-O-, (xxxixv) a  
 15 heterocycloalkyl group, (xxxixvi) heterocycloalkyl-O-, (xxxixvii) an aliphatic cyclic amino group or (xxxixviii) an aromatic cyclic amino group

R<sup>H</sup> and R<sup>I</sup> independently represent a hydrogen atom or a C<sub>1-6</sub> alkyl group which may have 1 to 3 substituents selected from the following substituent group  $\gamma$ ;

or both of R<sup>H</sup> and R<sup>I</sup> bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have 1 to 3 substituents selected from the following substituent group  $\delta$ ;

25 [substituent group  $\gamma$ ]

a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkoxy group, a halo(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkoxy)

group, an amino(C<sub>1-6</sub> alkoxy) group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, an ureido group, a sulfamide group, a mono or di(C<sub>1-6</sub> alkyl)ureido group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]ureido group, a mono or di(C<sub>1-6</sub> alkyl)sulfamide group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]-sulfamide group, a C<sub>2-7</sub> acylamino group, an amino(C<sub>2-7</sub> acylamino) group, a C<sub>1-6</sub> alkylsulfonyl group, a C<sub>1-6</sub> alkylsulfonylamino group, a carbamoyl(C<sub>1-6</sub> alkylsulfonylamino) group, a carboxy group, a C<sub>2-7</sub> alkoxycarbonyl group and -CON(R<sup>J</sup>)R<sup>K</sup>

10 [substituent group  $\delta$ ]

a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkyl group, a C<sub>1-6</sub> alkoxy group, a halo(C<sub>1-6</sub> alkyl) group, a halo(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkyl) group, a C<sub>2-7</sub> alkoxycarbonyl-substituted (C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkoxy) group, an amino(C<sub>1-6</sub> alkyl) group, an amino(C<sub>1-6</sub> alkoxy) group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, a C<sub>1-6</sub> alkylsulfonyl group, a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>1-6</sub> alkylsulfonyl-amino-substituted (C<sub>1-6</sub> alkyl) group, a carboxy group, a C<sub>2-7</sub> alkoxycarbonyl group, a sulfamoyl group and -CON(R<sup>J</sup>)R<sup>K</sup>

R<sup>J</sup> and R<sup>K</sup> independently represent a hydrogen atom or a C<sub>1-6</sub> alkyl group which may have any 1 to 3 substituents selected from a hydroxy group, an amino group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a C<sub>2-7</sub> alkoxycarbonyl group and a carbamoyl group;

25 or both of R<sup>J</sup> and R<sup>K</sup> bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any 1 to 3 substituents selected from a hydroxy group, an

amino group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a C<sub>1-6</sub> alkyl group, a hydroxy(C<sub>1-6</sub> alkyl) group, a C<sub>2-7</sub> alkoxy carbonyl group, a C<sub>2-7</sub> alkoxy carbonyl-substituted (C<sub>1-6</sub> alkyl) group and a carbamoyl group,

5 or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

2. A fused heterocyclic derivative as claimed in claim 1, wherein R<sup>2</sup> represents a hydrogen atom; Y represents -O-, -S-  
10 or -NH-; Q represents an ethylene group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

3. A fused heterocyclic derivative as claimed in claim 1 or 2, wherein the ring A represents a group derived from a benzene  
15 ring, a pyridine ring, a pyrimidine ring, a pyrazine ring or a pyridazine ring, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

4. A fused heterocyclic derivative as claimed in claim 3,  
20 wherein the ring A represents a phenyl group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

5. A fused heterocyclic derivative as claimed in claim 3, wherein the ring A represents a pyridyl group, or a  
25 pharmaceutically acceptable salt thereof, or a prodrug thereof.

6. A pharmaceutical composition comprising as an active



ingredient a fused heterocyclic derivative as claimed in any one of claims 1-5, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

5     7.     A human SGLT inhibitor comprising as an active ingredient a fused heterocyclic derivative as claimed in any one of claims 1-5, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

10    8.     A human SGLT inhibitor as claimed in claim 7, wherein SGLT represents SGLT1 and/or SGLT2.

9.     A human SGLT inhibitor as claimed in claim 7 or 8, which is an agent for the inhibition of postprandial hyperglycemia.

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10.    A human SGLT inhibitor as claimed in claim 7 or 8, which is an agent for the prevention or treatment of a disease associated with hyperglycemia.

20    11.    A human SGLT inhibitor as claimed in claim 10, wherein the disease associated with hyperglycemia is a disease selected from the group consisting of diabetes, impaired glucose tolerance, diabetic complications, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia,  
25    lipid metabolism disorder, atherosclerosis, hypertension, congestive heart failure, edema, hyperuricemia and gout.

12. A human SGLT inhibitor as claimed in claim 7 or 8, which is an agent for the inhibition of advancing impaired glucose tolerance into diabetes in a subject.

5 13. A pharmaceutical composition as claimed in claim 6, wherein the dosage form is sustained release formulation.

14. A human SGLT inhibitor as claimed in any one of claims 7-12, wherein the dosage form is sustained release formulation.

10

15. A method for the inhibition of postprandial hyperglycemia, which comprises administering an effective amount of a fused heterocyclic derivative as claimed in any one of claims 1-5, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

15

16. A method for the prevention or treatment of a disease associated with hyperglycemia, which comprises administering an effective amount of a fused heterocyclic derivative as claimed in any one of claims 1-5, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

20

17. A method for the prevention or treatment as claimed in claim 16, wherein the disease associated with hyperglycemia is a disease selected from the group consisting of diabetes, impaired glucose tolerance, diabetic complications, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia,

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hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, congestive heart failure, edema, hyperuricemia and gout.

5 18. A method for the inhibition of advancing impaired glucose tolerance into diabetes in a subject, which comprises administering an effective amount of a fused heterocyclic derivative as claimed in any one of claims 1-5, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

10

19. A use of a fused heterocyclic derivative as claimed in any one of claims 1-5, or a pharmaceutically acceptable salt thereof, or a prodrug thereof for the manufacture of a pharmaceutical composition for the inhibition of postprandial  
15 hyperglycemia.

20. A use of a fused heterocyclic derivative as claimed in any one of claims 1-5, or a pharmaceutically acceptable salt thereof, or a prodrug thereof for the manufacture of a  
20 pharmaceutical composition for the prevention or treatment of a disease associated with hyperglycemia.

21. A use as claimed in claim 20, wherein the disease associated with hyperglycemia is a disease selected from the group  
25 consisting of diabetes, impaired glucose tolerance, diabetic complications, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism

disorder, atherosclerosis, hypertension, congestive heart failure, edema, hyperuricemia and gout.

22. A use of a fused heterocyclic derivative as claimed in  
5 any one of claims 1-5, or a pharmaceutically acceptable salt thereof, or a prodrug thereof for the manufacture of a pharmaceutical composition for the inhibition of advancing impaired glucose tolerance into diabetes in a subject.

10 23. A pharmaceutical composition as claimed in claim 6 which comprises combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue,  
15 a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase  
20 inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase  
25 inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript

factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an  
*N*-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor,  
 insulin-like growth factor-I, platelet-derived growth factor,  
 a platelet-derived growth factor analogue, epidermal growth  
 5 factor, nerve growth factor, a carnitine derivative, uridine,  
 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide,  
 Y-128, antidiarrhoics, cathartics, a hydroxymethylglutaryl  
 coenzyme A reductase inhibitor, a fibric acid derivative, a  
 $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A cholesterol  
 10 acyltransferase inhibitor, probcol, a thyroid hormone receptor  
 agonist, a cholesterol absorption inhibitor, a lipase inhibitor,  
 a microsomal triglyceride transfer protein inhibitor, a  
 lipoxygenase inhibitor, a carnitine palmitoyl-transferase  
 inhibitor, a squalene synthase inhibitor, a low-density  
 15 lipoprotein receptor enhancer, a nicotinic acid derivative, a  
 bile acid sequestrant, a sodium/bile acid cotransporter  
 inhibitor, a cholesterol ester transfer protein inhibitor, an  
 appetite suppressant, an angiotensin-converting enzyme  
 inhibitor, a neutral endopeptidase inhibitor, an angiotensin  
 20 II receptor antagonist, an endothelin-converting enzyme  
 inhibitor, an endothelin receptor antagonist, a diuretic agent,  
 a calcium antagonist, a vasodilating antihypertensive agent,  
 a sympathetic blocking agent, a centrally acting  
 antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an  
 25 antiplatelets agent, a uric acid synthesis inhibitor, a  
 uricosuric agent and a urinary alkalinizer.

24. A human SGLT inhibitor as claimed in any one of claims 7-12 which comprises combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibric acid derivative, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A cholesterol

acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

25. A method as claimed in any one of claims 15-18 which comprises combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a

glucose-6-phosphatase inhibitor, a fructose-bisphosphatase  
 inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic  
 gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase  
 kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like  
 5 peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin,  
 an amylin analogue, an amylin agonist, an aldose reductase  
 inhibitor, an advanced glycation endproducts formation  
 inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid  
 receptor antagonist, a sodium channel antagonist, a transcript  
 10 factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an  
*N*-acetylated- $\alpha$ -linked-acid-  
 dipeptidase inhibitor, insulin-like growth factor-I,  
 platelet-derived growth factor, a platelet-derived growth  
 factor analogue, epidermal growth factor, nerve growth factor,  
 15 a carnitine derivative, uridine, 5-hydroxy-1-methylhidantoin,  
 EGB-761, bimoclomol, sulodexide, Y-128, antidiarrhoics,  
 cathartics, a hydroxymethylglutaryl coenzyme A reductase  
 inhibitor, a fibric acid derivative, a  $\beta_3$ -adrenoceptor agonist,  
 an acyl-coenzyme A cholesterol acyltransferase inhibitor,  
 20 probcol, a thyroid hormone receptor agonist, a cholesterol  
 absorption inhibitor, a lipase inhibitor, a microsomal  
 triglyceride transfer protein inhibitor, a lipoxxygenase  
 inhibitor, a carnitine palmitoyl-transferase inhibitor, a  
 squalene synthase inhibitor, a low-density lipoprotein receptor  
 25 enhancer, a nicotinic acid derivative, a bile acid sequestrant,  
 a sodium/bile acid cotransporter inhibitor, a cholesterol ester  
 transfer protein inhibitor, an appetite suppressant, an



angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalizer.

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26. A use as claimed in any one of claims 19-22 which comprises combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium

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channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an *N*-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimocloamol, sulodexide, Y-128, antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibric acid derivative, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.